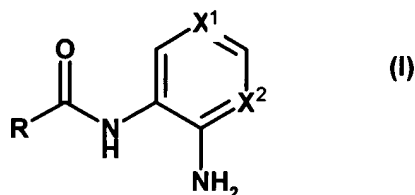


Claims

1. A pharmaceutical composition comprising, as an active ingredient, one or more compounds represented by the formula

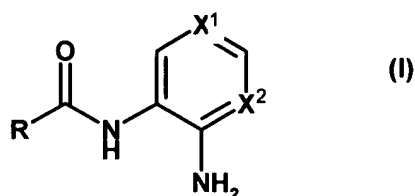


or a pharmaceutically acceptable salt or prodrug thereof,
wherein

X¹ and X² are each independently selected from a CH group or a nitrogen atom; and
R is an optionally substituted five or six membered nonaromatic carbocyclic ring or an nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-membered, optionally substituted carbocyclic aromatic ring; provided that R is not an optionally substituted pyridinyl.

2. The composition of claim 1 further comprising a pharmaceutically acceptable excipient or diluent.
3. The composition of claim 1 wherein the compound is selected from the group consisting of
- Benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
 - 5,6-Dimethoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Propoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Allyloxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 7-Methoxy-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
 - 7-Methoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide; and
 - Thiazole-4-carboxylic acid (2-amino-phenyl)-amide.

4. A compound of the formula



or a pharmaceutically acceptable salt or prodrug thereof,

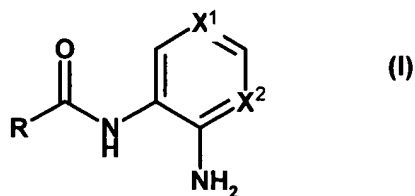
wherein

X¹ and X² are CH groups; and

R is an optionally substituted five or six membered nonaromatic carbocyclic ring or a nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-membered, optionally substituted carbocyclic aromatic ring; and

provided that R is not a halogenated benzothiophene; halogenated thiazolyl; N-benzyl-2-acetylamino-4,5-dimethylpyrrole-3-yl; optionally substituted 1,4-oxathiine-3-yl; optionally substituted pyridinyl; pyridazine-5-yl which is substituted by one to three substituents selected from methyl, methoxy, methoxycarbonyl or carboxyl.

5. A compound of the formula



or a pharmaceutically acceptable salt or prodrug thereof,

wherein

one of X¹ or X² is a nitrogen atom, and the other is a CH group; and

R is an optionally substituted five or six membered nonaromatic carbocyclic ring or a nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-membered, optionally substituted carbocyclic aromatic ring; and

provided that R is not an optionally substituted pyridinyl.

6. The compound of claim 5 wherein X¹ and X² are both nitrogen atoms.
7. The compound of claim 5, wherein the compound is selected from the group consisting of
- 5,6-Dimethoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Propoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Allyloxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 7-Methoxy-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide; and
 - 7-Methoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide.
8. A compound selected from the group consisting of
- Furan-2-carboxylic acid (2-amino-phenyl)-amide;
 - 1-Methyl-1H-pyrrole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 3,5-Dimethyl-isoxazole-4-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Oxo-pyrrolidine-2-carboxylic acid (2-amino-phenyl)-amide;
 - 1H-Pyrrole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Methyl-3-phenyl-isoxazole-4-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Phenethyl-4,5-dihydro-isoxazole-3-carboxylic acid (2-amino-phenyl)-amide;
 - 5,6-Dimethoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide; and
 - 3-Methyl-isoxazole-5-carboxylic acid (2-amino-phenyl)-amide.
9. A compound selected from the group consisting of
- 2,5-Dimethyl-2h-pyrazole-3-carboxylic acid (2-amino-phenyl)-amide;
 - 1,5-Dimethyl-1H-pyrazole-3-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Propoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 5-Allyloxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 3H-Benzo[e]indole-2-carboxylic acid (2-aminophenyl)-amide;
 - 5-Methyl-2H-pyrazole-3-carboxylic acid (2-amino-phenyl)-amide;
 - 3-Phenyl-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 - 3-Methyl-5-phenyl-isoxazole-4-carboxylic acid (2-amino-phenyl)-amide;
 - 1-Acetyl-piperidine-4-carboxylic acid (2-amino-phenyl)-amide; and
 - Cyclohex-1-enecarboxylic acid (2-amino-phenyl)-amide.

10. A compound selected from the group consisting of
3-(2-Methoxy-ethoxy)-thiophene-2-carboxylic acid (2-amino-phenyl)-amide;
1,5-Dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carboxylic acid (2-amino-phenyl)-amide;
7-Methoxy-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
1H-Imidazole-2-carboxylic acid (2-amino-phenyl)-amide;
5-(4-Chloro-phenyl)-1H-pyrazole-3-carboxylic acid (2-amino-phenyl)-amide;
7-Methoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide;
2-Phenyl-2H-[1,2,3]triazole-4-carboxylic acid (2-amino-phenyl)-amide;
2-Chloro-thiazole-4-carboxylic acid (2-amino-phenyl)-amide;
2-Benzyl-5-methyl-2H-pyrazole-3-carboxylic acid (2-amino-phenyl)-amide; and
5-Methyl-1-phenyl-1H-pyrazole-3-carboxylic acid (2-amino-phenyl)-amide.

11. A compound selected from the group consisting of
5-Methyl-1-phenyl-1H-[1,2,3]triazole-4-carboxylic acid (2-amino-phenyl)-amide;
5-Methyl-2-phenyl-oxazole-4-carboxylic acid (2-amino-phenyl)-amide;
2,5-Dimethyl-4-nitro-2H-pyrazole-3-carboxylic acid (2-amino-phenyl)-amide;
1H-Indole-2-carboxylic acid (2-amino-phenyl)-amide;
4-Acetyl-3,5-dimethyl-1H-pyrrole-2-carboxylic acid (2-amino-phenyl)-amide;
6-Oxo-1,4,5,6-tetrahydro-pyridazine-3-carboxylic acid (2-amino-phenyl)-amide;
5-Phenyl-isoxazole-3-carboxylic acid (2-amino-phenyl)-amide;
Benzofuran-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide; and
Furan-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide.

12. A compound selected from the group consisting of
3,5-Dimethyl-isoxazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5-Oxo-pyrrolidine-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5-Methyl-3-phenyl-isoxazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5,6-Dimethoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
2,5-Dimethyl-2H-pyrazole-3-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
1,5-Dimethyl-1H-pyrazole-3-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5-Propoxy-1H-indole-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5-Allyloxy-1H-indole-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;

3H-Benzo[e]indole-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide; and
5-Methyl-2H-pyrazole-3-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide.

13. A compound selected from the group consisting of

1-Acetyl-piperidine-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
Cyclohex-1-enecarboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
3-(2-Methoxy-ethoxy)-thiophene-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
1,5-Dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
7-Methoxy-benzofuran-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
7-Methoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
2-Phenyl-2H-[1,2,3]triazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5-Phenyl-thiazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
2-Chloro-thiazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide; and
2-Benzyl-5-methyl-2H-pyrazole-3-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide.

14. A compound selected from the group consisting of

5-Methyl-1-phenyl-1H-pyrazole-3-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5-Methyl-1-phenyl-1H-[1,2,3]triazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
5-Methyl-2-phenyl-oxazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
1H-Indole-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
4-Acetyl-3,5-dimethyl-1H-pyrrole-2-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;;
6-Oxo-1,4,5,6-tetrahydro-pyridazine-3-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
Thiazole-4-carboxylic acid (2-amino-4,5-dichloro-phenyl)-amide;
Benzofuran-2-carboxylic acid (2-amino-pyridin-3-yl)-amide;
5-Phenethyl-4,5-dihydro-isoxazole-3-carboxylic acid (2-amino-pyridin-3-yl)-amide; and
5-Allyloxy-1H-indole-2-carboxylic acid (2-amino-pyridin-3-yl)-amide.

15. A compound selected from the group consisting of

Cyclohex-1-enecarboxylic acid (2-amino-pyridin-3-yl)-amide;
1,5-Dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carboxylic acid (2-amino-pyridin-3-yl)-amide;

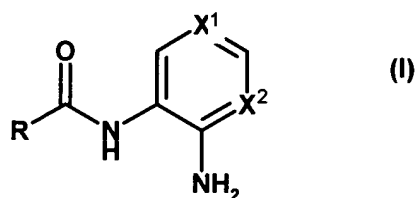
7-Methoxy-benzofuran-2-carboxylic acid (2-amino-pyridin-3-yl)-amide;
 7-Methoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-pyridin-3-yl)-amide;
 2-Phenyl-2H-[1,2,3]triazole-4-carboxylic acid (2-amino-pyridin-3-yl)-amide;
 5-Phenyl-thiazole-4-carboxylic acid (2-amino-pyridin-3-yl)-amide;
 2-Benzyl-5-methyl-2H-pyrazole-3-carboxylic acid (2-amino-pyridin-3-yl)-amide;
 5-Methyl-1-phenyl-1H-[1,2,3]triazole-4-carboxylic acid (2-amino-pyridin-3-yl)-amide;
 5-Methyl-2-phenyl-oxazole-4-carboxylic acid (2-amino-pyridin-3-yl)-amide; and
 2,5-Dimethyl-4-nitro-2H-pyrazole-3-carboxylic acid (2-amino-pyridin-3-yl)-amide.

16. A compound selected from the group consisting of
 Benzofuran-2-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 5-Phenethyl-4,5-dihydro-isoxazole-3-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 Cyclohex-1-enecarboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 3-(2-Methoxy-ethoxy)-thiophene-2-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 1,5-Dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 7-Methoxy-benzofuran-2-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 7-Methoxy-benzo[b]thiophene-2-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 2-Phenyl-2H-[1,2,3]triazole-4-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 5-Phenyl-thiazole-4-carboxylic acid (4-amino-pyrimidin-5-yl)-amide; and
 2-Benzyl-5-methyl-2H-pyrazole-3-carboxylic acid (4-amino-pyrimidin-5-yl)-amide.

17. A compound selected from the group consisting of
 5-Methyl-1-phenyl-1H-[1,2,3]triazole-4-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 5-Methyl-2-phenyl-oxazole-4-carboxylic acid (4-amino-pyrimidin-5-yl)-amide;
 5-methoxy-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
 6,7-dimethyl-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
 5-nitro-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
 6-methoxy-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
 6-methoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 4-chloro-5-methoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 3-methoxy-5-methyl-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide; and
 3-pyrrol-1-yl-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide.

18. A compound selected from the group consisting of
 7-nitro-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 5,7-dimethoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 5-Methoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
 6-Methoxy-4-trifluoromethyl-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide; and
 5-Methoxy-1-methyl-3-methylsulfanyl-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide.

19. A process for manufacturing a compound of the formula



or a pharmaceutically acceptable salt or prodrug thereof,
 wherein

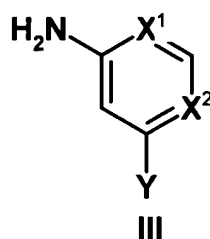
X¹ and X² are CH groups; and

R is an optionally substituted five or six membered nonaromatic carbocyclic ring or an nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-membered, optionally substituted carbocyclic aromatic ring; provided that R is not a halogenated benzothiophene; halogenated thiazolyl; N-benzyl-2-acetylamino-4,5-dimethylpyrrole-3-yl; optionally substituted 1,4-oxathiine-3-yl; optionally substituted pyridinyl; or pyridazine-5-yl which is substituted by one to three substituents selected from methyl, methoxy, methoxycarbonyl or carboxyl;
 by reacting a carboxylic acid of the formula



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wherein R is an optionally substituted five or six membered nonaromatic carbocyclic ring or an nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-membered, optionally substituted carbocyclic aromatic ring;
with a compound of the formula



wherein

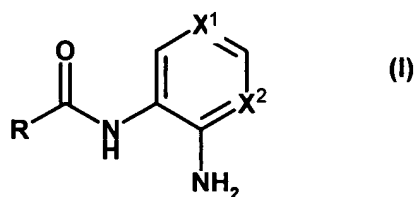
Y is a nitro-, amino- or a protected amino group; in the presence of activation reagents.

20. The process of claim 19 wherein the six membered ring is substituted by at least one halogen.

21. The process of claim 19 further comprising the formation of an amino group by either cleaving a protection group or reduction of a nitro group.

22. The process of claim 19 further comprising converting the compound to a pharmaceutically acceptable salt.

23. A process of manufacturing a compound of the formula



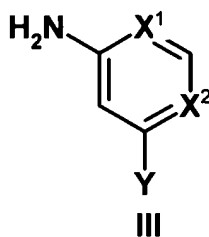
or a pharmaceutically acceptable salt or prodrug thereof,
wherein

one of X¹ or X² is a nitrogen atom and the other is a CH group; and
 R is an optionally substituted five or six membered nonaromatic carbocyclic ring or an
 nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-
 membered, optionally substituted carbocyclic aromatic ring; provided that R is not an
 optionally substituted pyridinyl;
 by reacting a carboxylic acid of the formula



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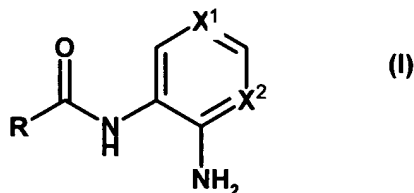
wherein R is an optionally substituted five or six membered nonaromatic carbocyclic
 ring or an nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally
 condensed with a 6-membered, optionally substituted carbocyclic aromatic ring;
 with a compound of the formula



wherein Y is a nitro-, amino- or a protected amino group; in the presence of an
 activation reagent.

24. The process of claim 23 wherein both X¹ and X² are nitrogen atoms.
25. The process of claim 23 further comprising the formation of an amino group by either
 cleaving a protection group or reduction of a nitro group.
26. The process of claim 23 further comprising converting the compound to a
 pharmaceutically acceptable salt.

27. A method for treating cancer comprising administering to a patient in need of such treatment an effective amount of at least one compound of



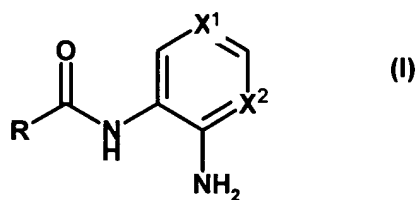
or a pharmaceutically acceptable salt or prodrug thereof,
wherein

X^1 and X^2 are each independently selected from a CH group or a nitrogen atom; and
R is an optionally substituted five or six membered nonaromatic carbocyclic ring or a nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-membered, optionally substituted carbocyclic aromatic ring.

28. The method of claim 27 wherein the compound is a histone acetylation-inducing agent.

29. The method of claim 27 wherein the compound is selected from the group consisting of
Benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
5,6-Dimethoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide;
5-Propoxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
5-Allyloxy-1H-indole-2-carboxylic acid (2-amino-phenyl)-amide;
7-Methoxy-benzofuran-2-carboxylic acid (2-amino-phenyl)-amide;
7-Methoxy-benzo[b]thiophene-2-carboxylic acid (2-amino-phenyl)-amide; and
Thiazole-4-carboxylic acid (2-amino-phenyl)-amide.

30. A method for treating tumor cell proliferation comprising inducing histone acetylation in a tumor cell, due to administering to the tumor cell an effective amount of at least one compound of



or a pharmaceutically acceptable salt or prodrug thereof,

wherein

X^1 and X^2 are each independently selected from a CH group or a nitrogen atom; and

R is an optionally substituted five or six membered nonaromatic carbocyclic ring or a nonaromatic or aromatic heterocyclic ring, whereby the ring is optionally condensed with a 6-membered, optionally substituted carbocyclic aromatic ring.